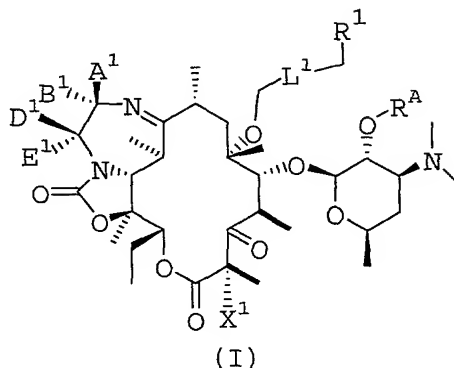


WHAT IS CLAIMED IS

1. A compound having formula (I)



5 in which,

two of A<sup>1</sup>, B<sup>1</sup>, D<sup>1</sup>, and E<sup>1</sup> are hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, -CN, -OH, -SH, -C(O)H, -C(O)R<sup>2</sup>, -C(O)OH, -C(O)OR<sup>2</sup>, -C(O)NR<sup>3</sup>R<sup>4</sup>, or alkyl substituted with one, two, or three substituents independently selected from the group consisting of -CN, -OH, -SH, halo, aryl, heteroaryl, heterocyclyl, -OR<sup>2</sup>, -SR<sup>2</sup>, -C(O)H, -C(O)R<sup>2</sup>, -C(O)OH, -C(O)OR<sup>2</sup>, -CH=N-OR<sup>2</sup>, -OC(O)R<sup>2</sup>, -OC(O)OR<sup>2</sup>, -C(O)NR<sup>3</sup>R<sup>4</sup>, -OC(O)NR<sup>3</sup>R<sup>4</sup>, -NR<sup>3</sup>R<sup>4</sup>, -N(R<sup>5</sup>)C(O)H, -N(R<sup>5</sup>)C(O)R<sup>2</sup>, -N(R<sup>5</sup>)C(O)NR<sup>3</sup>R<sup>4</sup>, -N(R<sup>5</sup>)SO<sub>2</sub>R<sup>2</sup>, -OR<sup>2</sup>, -SR<sup>2</sup>, -S(O)R<sup>2</sup>, -SO<sub>2</sub>R<sup>2</sup>, and -SO<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>, and the remainder are hydrogen; or

A<sup>1</sup> and D<sup>1</sup>, A<sup>1</sup> and E<sup>1</sup>, B<sup>1</sup> and D<sup>1</sup>, or B<sup>1</sup> and D<sup>1</sup> together are one- to five-membered alkylene or two- to five-membered heteroalkylene, and the remainder are hydrogen; or

A<sup>1</sup> and B<sup>1</sup> together are one- to seven-membered alkylene or two- to seven-membered heteroalkylene, and D<sup>1</sup> and E<sup>1</sup> are hydrogen; or

D<sup>1</sup> and E<sup>1</sup> together are one- to seven-membered alkylene or two- to seven-membered heteroalkylene, and A<sup>1</sup> and B<sup>1</sup> are hydrogen;

L<sup>1</sup> is selected from the group consisting of C≡C, (E)-CH=CH, and (Z)-CH=CH;

X<sup>1</sup> is selected from the group consisting of hydrogen and fluoride;

30 R<sup>A</sup> is selected from the group consisting of hydrogen and R<sup>P</sup>, in which R<sup>P</sup> is a hydroxyl protecting group; and

R<sup>1</sup> is selected from the group consisting of aryl, heteroaryl, and heterocycle;

in which, for the foregoing,

35 each aryl, heteroaryl, and heterocyclyl is unsubstituted or substituted with one, two, three, four, or five substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, halo, -CN, -OH, -SH, -NH<sub>2</sub>, -NO<sub>2</sub>, (O), -CF<sub>3</sub>, -CH<sub>2</sub>CF<sub>3</sub>, -CF<sub>2</sub>CF<sub>3</sub>, -OCF<sub>3</sub>,  
40 -OCH<sub>2</sub>CF<sub>3</sub>, -OCF<sub>2</sub>CF<sub>3</sub>, -OR<sup>30</sup>, -SR<sup>30</sup>, -S(O)R<sup>35</sup>, -SO<sub>2</sub>R<sup>35</sup>, -C(O)H, -C(O)R<sup>35</sup>, -C(O)OH, -C(O)OR<sup>35</sup>, -NH(R<sup>35</sup>), -N(R<sup>35</sup>)(R<sup>35'</sup>), -C(O)NH<sub>2</sub>, -C(O)NH(R<sup>35</sup>), -C(O)N(R<sup>35</sup>)(R<sup>36</sup>), -OC(O)R<sup>35</sup>, -OC(O)OR<sup>35</sup>, -OC(O)NH<sub>2</sub>, -OC(O)NH(R<sup>35</sup>), -OC(O)N(R<sup>35</sup>)(R<sup>36</sup>), -NHC(O)H, -NHC(O)R<sup>35</sup>, -NHC(O)OR<sup>35</sup>, -NHC(O)NH<sub>2</sub>, -NHC(O)NH(R<sup>35</sup>),  
45 -NHC(O)N(R<sup>35</sup>)(R<sup>36</sup>), -SO<sub>2</sub>NH<sub>2</sub>, -SO<sub>2</sub>NH(R<sup>35</sup>), -SO<sub>2</sub>N(R<sup>35</sup>)(R<sup>36</sup>), R<sup>40</sup>, and alkyl substituted with one or two substituents independently selected from the group consisting of halo, -CN, -OH, -SH, (O), -OR<sup>30</sup>, -SR<sup>30</sup>, -C(O)OH, -C(O)OR<sup>35</sup>, -NH<sub>2</sub>, -NH(R<sup>35</sup>), -N(R<sup>35</sup>)(R<sup>36</sup>), -C(O)NH<sub>2</sub>, -C(O)NH(R<sup>35</sup>),  
50 C(O)N(R<sup>35</sup>)(R<sup>36</sup>), -OC(O)R<sup>35</sup>, -OC(O)NH<sub>2</sub>, -OC(O)NH(R<sup>35</sup>), OC(O)N(R<sup>35</sup>)(R<sup>36</sup>), -SO<sub>2</sub>NH<sub>2</sub>, -SO<sub>2</sub>NH(R<sup>35</sup>), -SO<sub>2</sub>N(R<sup>35</sup>)(R<sup>36</sup>), and R<sup>40</sup>;

R<sup>30</sup> is selected from the group consisting of alkyl and alkyl substituted with a substituent selected from the group  
55 consisting of halo and OR<sup>45</sup>;

R<sup>35</sup> and R<sup>36</sup> are independently selected alkyl;

R<sup>40</sup> is selected from the group consisting of phenyl, naphthyl, furyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, isothiazolyl, 1,2,3-oxadiazolyl, 1,2,3-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-

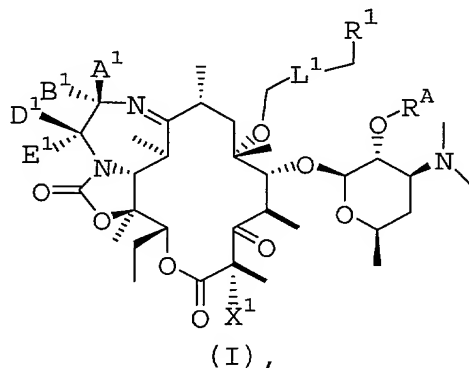
60

triazolyl, tetrazolyl, pyridyl, pyrazinyl, pyrimidinyl,  
 pyrrolidinyl, inidazolidinyl, piperidinyl, piperazinyl,  
 morpholinyl, or thiomorpholinyl, each of which is  
 unsubstituted or substituted with one, two, or three  
 65 substituents independently selected from the group  
 consisting of alkyl, alkenyl, alkynyl, cycloalkyl, halo,  
 -CN, -OH, -SH, -NO<sub>2</sub>, (O), -CF<sub>3</sub>, -CH<sub>2</sub>CF<sub>3</sub>, -CF<sub>2</sub>CF<sub>3</sub>, -OCF<sub>3</sub>,  
 -OCH<sub>2</sub>CF<sub>3</sub>, -OCF<sub>2</sub>CF<sub>3</sub>, -OR<sup>45</sup>, -SR<sup>45</sup>, -S(O)R<sup>50</sup>, -SO<sub>2</sub>R<sup>50</sup>, -C(O)H,  
 -C(O)R<sup>50</sup>, -C(O)OH, -C(O)OR<sup>50</sup>, -NH<sub>2</sub>, -NH(R<sup>50</sup>), -N(R<sup>50</sup>)(R<sup>51</sup>),  
 70 -C(O)NH<sub>2</sub>, -C(O)NH(R<sup>50</sup>), -C(O)N(R<sup>50</sup>)(R<sup>51</sup>), -OC(O)R<sup>50</sup>,  
 OC(O)OR<sup>50</sup>, -OC(O)NH<sub>2</sub>, -OC(O)NH(R<sup>50</sup>), -OC(O)N(R<sup>50</sup>)(R<sup>51</sup>),  
 NHC(O)H, -NHC(O)R<sup>50</sup>, -NHC(O)OR<sup>50</sup>, -NHC(O)NH<sub>2</sub>, -NHC(O)NH(R<sup>50</sup>),  
 -NHC(O)N(R<sup>50</sup>)(R<sup>51</sup>), -SO<sub>2</sub>NH<sub>2</sub>, -SO<sub>2</sub>NH(R<sup>50</sup>), and -SO<sub>2</sub>N(R<sup>50</sup>)(R<sup>51</sup>);

R<sup>45</sup> is alkyl;

75 R<sup>50</sup> and R<sup>51</sup> are independently selected alkyl.

2. A compound of Claim 1 having formula (I)



in which

5 A<sup>1</sup>, B<sup>1</sup>, D<sup>1</sup>, and E<sup>1</sup> are hydrogen;

X<sup>1</sup> is hydrogen;

L<sup>1</sup> is C≡C;

R<sup>A</sup> is hydrogen;

R<sup>1</sup> is selected from the group consisting of aryl,

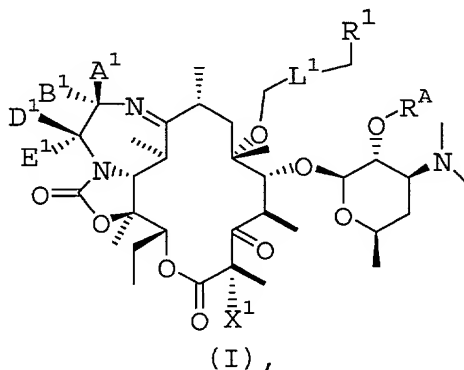
10 heteroaryl,

in which the aryl is phenyl and the heteroaryl is pyridyl and quinolinyl, and

in which the foregoing aryl and each foregoing heteroaryl is unsubstituted or substituted with a substituent selected from the group consisting of alkenyl and  $R^{40}$ ,

in which  $R^{40}$  is selected from the group consisting of furyl, pyridyl, 1,2,3-thiadiazolyl, thiazolyl, thienyl, and tetrazolyl, each of which is unsubstituted or substituted with one alkyl substituent.

3. A compound of Claim 1 having formula (I)



in which

5  $A^1$ ,  $B^1$ ,  $D^1$ , and  $E^1$  are hydrogen;

$X^1$  is hydrogen;

$L^1$  is  $C\equiv C$ ;

$R^A$  is hydrogen;

10  $R^1$  is selected from the group consisting of aryl and heteroaryl,

in which the aryl is phenyl and the heteroaryl is pyridyl and quinolinyl, and

in which the foregoing aryl and each foregoing heteroaryl is unsubstituted or substituted with a substituent selected from the group consisting of  $C_2$ -alkenyl and  $R^{40}$ ,

in which R<sup>40</sup> is selected from the group consisting of  
furyl, pyridyl, 1,2,3-thiadiazolyl, thiazolyl, thienyl, and  
tetrazolyl, each of which is unsubstituted or substituted  
20 with one C<sub>1</sub>-alkyl substituent.

4. A composition for prophylaxis or treatment of  
methicillin-resistant staphylococcus aureus infections in a  
fish or a mammal, the composition comprising a  
therapeutically effective amount of a compound of claim 1.

5  
5. A method for prophylaxis and treatment of  
methicillin-resistant staphylococcus aureus infections in a  
fish or a mammal comprising administering thereto a  
therapeutically effective amount of a compound of claim 1.

6. A compound of claim 1 selected from the group  
consisting of

(3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-4-ethyl-  
3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-11-((4-pyridin-2-  
5 ylbut-2-ynyl)oxy)dodecahydro-14,1-  
(epiazenoethano)oxacyclotetradecino[4,3-d][1,3]oxazol-10-yl  
3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoside,  
(3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-4-ethyl-  
3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-11-((4-(4-(1,2,3-  
10 thiadiazol-5-yl)phenyl)but-2-ynyl)oxy)dodecahydro-14,1-  
(epiazenoethano)oxacyclotetradecino[4,3-d][1,3]oxazol-10-yl  
3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoside,  
(3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-4-ethyl-  
3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-11-((4-quinolin-3-  
15 ylbut-2-ynyl)oxy)dodecahydro-14,1-  
(epiazenoethano)oxacyclotetradecino[4,3-d][1,3]oxazol-10-yl  
3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoside,  
(3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-4-ethyl-  
3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-11-((4-(4-thien-2-  
20 ylphenyl)but-2-ynyl)oxy)dodecahydro-14,1-

(epiazenoethano) oxacyclotetradecino [4,3-d] [1,3] oxazol-10-yl  
 3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranoside,  
 (3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-4-ethyl-  
 3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-11-((4-(4-(1,3-  
 25 thiazol-2-yl)phenyl)but-2-ynyl)oxy) dodecahydro-14,1-  
 (epiazenoethano) oxacyclotetradecino [4,3-d] [1,3] oxazol-10-yl  
 3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranoside,  
 (3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-4-ethyl-11-((4-(4-  
 (2-furyl)phenyl)but-2-ynyl)oxy)-3a,7,9,11,13,15-hexamethyl-  
 30 2,6,8-trioxododecahydro-14,1-  
 (epiazenoethano) oxacyclotetradecino [4,3-d] [1,3] oxazol-10-yl  
 3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranoside,  
 (3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-4-ethyl-  
 3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-11-((4-(4-  
 35 vinylphenyl)but-2-ynyl)oxy) dodecahydro-14,1-  
 (epiazenoethano) oxacyclotetradecino [4,3-d] [1,3] oxazol-10-yl  
 3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranoside,  
 (3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-4-ethyl-  
 3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-11-((4-(4-pyridin-2-  
 40 ylphenyl)but-2-ynyl)oxy) dodecahydro-14,1-  
 (epiazenoethano) oxacyclotetradecino [4,3-d] [1,3] oxazol-10-yl  
 3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranoside,  
 and  
 (3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-4-ethyl-  
 45 3a,7,9,11,13,15-hexamethyl-11-((4-(4-(2-methyl-2H-tetraazol-  
 5-yl)phenyl)but-2-ynyl)oxy)-2,6,8-trioxododecahydro-14,1-  
 (epiazenoethano) oxacyclotetradecino [4,3-d] [1,3] oxazol-10-yl  
 3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranoside.